Attachment: No

Case/Application number: 10595734 PALM

Priority App. Filing Date:

Format for Search Results: SCORE

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:

Search compounds of formula (II) in claims 37-39 as filed on 12-20-2010.

=> fil hcaplus 'ENTERED AT 15:49:48 ON 26 JAN 2011
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FILE COVERS 1907 - 26 Jan 2011 VOL 154 ISS 5
FILE LAST UPDATED: 25 Jan 2011 (20110125/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que 18 L3 STF

VAR G1=CH/21
REP G2=(2-2) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: BING(S) ARE ISOLAT

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 23

NONDER OF NODED 15 25

STEREO ATTRIBUTES: NONE
L5 275 SEA FILE=REGISTRY SSS FUL L3
L6 STR

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 1
GGCAT IS MCY AT 2
GGCAT IS MCY AT 4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L7 21 SEA FILE=REGISTRY SUB=L5 SSS FUL L6 L8 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

=> d ibib abs hitstr 18 1-5

L8 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2009:663712 HCAPLUS Full-text DOCUMENT NUMBER: 151:198369

TITLE: Discovery of selective PDE4B inhibitors

AUTHOR(S): Naganuma, Kenji; Omura, Akifumi; Maekawara, Naomi; Saitoh, Masahiro; Ohkawa, Naoto; Kubota, Takashi;

Nagumo, Hiromitsu; Kodama, Toshiyuki; Takemura, Masayoshi; Ohtsuka, Yuji; Nakamura, Junji; Tsujita, Rvuichi; Kawasaki, Koh; Yokoi, Hirotsugu; Kawanishi,

Masashi

CORPORATE SOURCE: Pharmaceuticals Research Center, Asahi Kasei Pharma Corporation, 632-1 Mifuku, Izunokuni-shi, Shizuoka,

410-2321, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),

19(12), 3174-3176

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 151:198369

GI CASABACI

AB In this study the first PDE4B selective inhibitor is described. Optimization of lead 2-arylpyrimidine derivs. afforded a series of potent PDE4B inhibitors I (R1 = Me, Et, H2C:CHCH2, CN, CHO, etc.; R2 = Me, Et, n-Pr; R3 = Ph, 4-MeCGH4, 2-thienyl, 2-pyridyl, etc.; R4 = H, F; R5 = CO2H, CH2CO2H) with \$100-fold selectivity over the PDE4D isoenzyme. With a good pharmacokinetic profile, a selected compound I (R1 = Et; R2 = Me; R3 = 5-chloro-2-thienyl; R4 = H; R5 = CH2CO2H) exhibited potent anti-inflammatory effects in vivo and showed less emesis compared with Cilomilast.

1174196-29-2P 1174196-31-6P 1174196-33-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(synthesis and biol. evaluation of carboxy-substituted

(arylamino)pyridimines as selective PDE4B inhibitors)

RN 300837-31-4 HCAPLUS

RN 1174196-07-6 HCAPLUS

CN Benzoic acid, 4-[(6-methyl-2-phenyl-5-propyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 1174196-10-1 HCAPLUS
- CN Benzoic acid, 4-[(5-butyl-6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

- RN 1174196-29-2 HCAPLUS
- CN Benzoic acid, 4-[[6-methyl-2-(4-methylphenyl)-5-(2-propen-1-yl)-4pyrimidinyl]amino]- (CA INDEX NAME)

- RN 1174196-31-6 HCAPLUS
- CN Benzoic acid, 4-[[6-methyl-2-(3-methylphenyl)-5-(2-propen-1-yl)-4pyrimidinyl]amino]- (CA INDEX NAME)

RN 1174196-33-8 HCAPLUS

CN Benzoic acid, 4-[[2-(4-methoxyphenyl)-6-methyl-5-(2-propen-1-yl)-4pyrimidinyl]amino]- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2007:464455 HCAPLUS Full-text

DOCUMENT NUMBER: 146:462282

TITLE: Preparation of 2-aminopyrimidines as casein kinase II
(CK2) modulators for the treatment of cancer

INVENTOR(S): Rice, Kenneth D.; Blazey, Charles M.; Epshteyn,

Sergey; Ibrahim, Mohamed Abdulkader; Johnson, Henry

William Beecroft; Kennedy, Abigail R.; Manalo, Jean-Claire Limun; Peto, Csaba J.

Jean-Claire Limun; Peto, Csaba

PATENT ASSIGNEE(S): Exelixis, Inc., USA SOURCE: PCT Int. Appl., 68pp.

SOURCE: PCT Int. Appl., 68pp CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	ENT 1				KIN)	DATE		1	APPL:	ICAT:	ION I	4O.			ATE	
WO	2007	0480	64		A2		2007		1	WO 2	006-1	US41	501			0061	
WO	2007				A3		2007										
	₩:						ΑU,										
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG.	KZ.	MD.	RU.	TJ.	TM.	AP.	EA.	EP.	OA						

PRIORITY APPLN. INFO.: US 2005-729348P P 20051021

OTHER SOURCE(S): CASREACT 146:462282; MARPAT 146:462282

GI

$$\begin{bmatrix} R^1 \\ R^2 \\ R^2 \end{bmatrix}$$

- AB Compound I [wherein Z = (un)substituted Ph, thienyl, 2,3-dihydro-1,4-benzodioxinyl or thiazolyl; R1 = (un)substituted alkyl, alkoxy, alkylthio, etc.; R2 = (un) substituted alkyl, aryl or halo; R3 = H, alkyl or halo, etc.] or pharmaceutically acceptable salts thereof were prepared as casein kinase II (CK2) modulators. For instance, chlorination of 2-[[(2-chlorophenyl)methyl]thio]-6methylpyrimidin-4-ol with POC13 followed by condensation of the resultant 4chloropyrimidine with 5-chloroanthranilic acid gave II as a hydrochloride salt. Representative examples I showed CK2 inhibitory activity. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases that involve CK2, such as cancer.
- 17174-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidines as casein kinase II (CK2) modulators for treatment of cancer)

RN 17174-14-0 HCAPLUS

Benzoic acid, 2-[(6-methyl-2-phenyl-4-pyrimidinyl)aminol- (CA INDEX NAME) CN

L8 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2005:1025025 HCAPLUS Full-text

DOCUMENT NUMBER: 143:455184

TITLE: Electrospray Mass Spectrometry for the Direct Accurate Mass Measurement of Ligands in Complex With the

Retinoid X Receptor a Ligand Binding Domain

Lengqvist, Johan; Alvelius, Gunvor; Joernvall, Hans; AUTHOR(S):

Sjoevall, Jan; Perlmann, Thomas; Griffiths, William J. CORPORATE SOURCE: Department of Medical Biochemistry and Biophysics,

Karolinska Institutet, Stockholm, Swed.

SOURCE: Journal of the American Society for Mass Spectrometry

(2005), 16(10), 1631-1640

CODEN: JAMSEF; ISSN: 1044-0305

PUBLISHER: Elsevier Inc. DOCUMENT TYPE: Journal

LANGUAGE:

AB

Accurate mass measurements are often used in the structural determination of unknown compds. of low mol. mass (i.e., below .apprx.500 Da). Recently, it has been shown that accurate mass measurements also can be made on small denatured proteins (i.e., M r, .apprx.17,000) to confirm their amino acid composition and identify the presence of isoforms. In the current report, the authors present nondenaturing electrospray (ES) mass spectrometry data on the direct accurate mass measurement of ligands in complex with the retinoid X receptor ligand binding domain (RXR LBD; M r 31,370.92). Average mass errors were below 0.198 Da, 6.3 ppm (standard deviation [SD], 0.146; n = 10) for low-affinity fatty acid agonists analyzed in complex with the RXR LBD. Protein consumption was less than 15 pmol, with fatty acid ligands present at concns. corresponding to their median effective concentration value (low micromolar, determined in transfection assays). Although determination of fatty acid mass was only sufficiently accurate to give nominal mass values, measurements were of sufficient accuracy to assign fatty acid chain length, degree of unsatn., or cyclization. Using 17β -estradiol as a control, the ability to observe specific ligand binding is shown for both high- and low-affinity RXRlpha agonists. In addition, binding of a novel synthetic receptor agonist XCT0315908 to the RXRa LBD is reported. This compound showed a high degree of complex formation, and the receptorligand complex could be mass measured with an average mass error of -0.024 Da, 0.8 ppm (SD, 0.092; n = 9). Thus, specific binding of both nanomolar and micromolar affinity ligands to a nuclear receptor LBD can be directly observed using nondenaturing ES mass spectrometry and accurate mass measurements addnl. can be made on intact complexes in the same experiment This methodol. also is applicable when ligands are present as components of mixts.

IT 300837-31-4, XCT 0315908

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)

(ESI mass spectrometry for mass measurement of ligands in complex with

retinoid X receptor a ligand binding domain)

English

300837-31-4 HCAPLUS RN

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]-(CA INDEX NAME)

OS.CITING REF COUNT:

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text

DOCUMENT NUMBER: 142:476293

TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter

X-Ceptor Therapeutics, Inc., USA PATENT ASSIGNEE (S):

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE:

LANGUAGE:

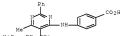
English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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	WO	2005	0472	68		A3		2005	0721									
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
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			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
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			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG												
	US	2007	0293	464		A1		2007	1220		US 2	007-	5957	34		2	0070	522
PRIOR	RIT	Y APP	LN.	INFO	. :						US 2	003-	5190	30P		P 2	0031	110
											WO 2	004=	11537	642		W 2	0041	109

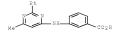
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 142:476293

- AΒ Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.
- IT 300837-31-4 312626-15-6 333415-58-0
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
- (Biological study); USES (Uses)
- (pyrimidine derivs. for treatment of NGFI-B-related diseases)
- RN 300837-31-4 HCAPLUS
- CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]-(CA INDEX NAME)



- RN 312626-15-6 HCAPLUS
- CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

- 333415-58-0 HCAPLUS RN
- CN Benzoic acid, 3-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD

(4 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1968:459179 HCAPLUS Full-text
DOCUMENT NUMBER: 69:59179

DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 69:11063a,11066a

TITLE: Substituted heteroaromatic anthranilic acids with

antiinflammatory activity
AUTHOR(S): Falch, E.; Weis, J.; Natviq, T.

CORPORATE SOURCE: Res. Div., Pharmacia AS, Copenhagen-Vanloese, Den.

SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 608-11

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Anthranilic acids (I and II) containing heteroaromatic N-substituents were prepared by the reaction of appropriately substituted chloro heterocycles with anthranilic acid in HCl or substituted methylthio heterocycles with anthranilic acid in alkaline solution The reaction of o-BrC6H4CO2H with 5-amino-4-carboxy-2,6-dihydroxpyprimiding)almost part N-[5-(4-carboxy-2,6-dihydroxpyprimiding)]anthranilic acid.

The exchange of the o-xylyl moiety in mefenamic acid with heteroaromatic rings significantly lowers the antinflammatory activity.

IT 17173-99-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 17173-99-8 HCAPLUS

CN Benzoic acid, 2-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)



HC1

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

=> => d stat que 114 L3 STR

VAR G1=CH/21 REP G2=(2-2) C NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L5 275 SEA FILE=REGISTRY SSS FUL L3
L6 STR

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 1
GGCAT IS MCY AT 2
GGCAT IS MCY AT 4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L7 21 SEA FILE=REGISTRY SUB=L5 SSS FUL L6 L8 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

L9 254 SEA FILE=REGISTRY ABB=ON PLU=ON L5 NOT L7 L10 22 SEA FILE=HCAPLUS ABB=ON PLU=ON L9

L13 14 SEA FILE-HCAPLUS ABB-ON PLU-ON L10 AND (?MEDIC? OR ?THERAP? OR ?DRUG? OR ?PHARM?)

L14 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L13 NOT L8

=> d ibib abs hitstr 114 1-12

L14 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2009:846108 HCAPLUS Full-text

DOCUMENT NUMBER: 151:92845

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening

for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20 PATENT INFORMATION:

PATI		NO.			KIN	D	DATE			APPI	LICAT	ION	NO.		D.	ATE	
US :	2009	0163	545		A1		2009	0625		us :	2008-	3416	15		2	0081	222
US :	2009	0163	545		A1		2009	0625		us :	2008-	3416	15		2	0081	222
AU 2	2008	3452	25		A1		2009	0709		AU :	2008-	3452	25		2	0081	222
CA :	2709	784			A1		2009	0709		CA :	2008-	2709	784		2	0081	222
EP 2	2219	646			A2		2010	0825		EP :	2008-	8674	10		2	0081	222
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	, ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	, NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK.	TR.	AT.	BA.	MK.	RS										

PRIORITY APPLN. INFO.: US 2008-23801P

US 2007-16362P P 20071221 US 2008-341615 20081222 WO 2008-US88016 W 20081222

P 20080125

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

B The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 337488-98-9

RL: PAC (Pharmacological activity); BIOL (Biological study) (method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 337488-98-9 HCAPLUS

CN Phenol, 2-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]- (CA INDEX NAME)

2009:846107 HCAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 151:92844

TITLE: Method using lifespan-altering compounds for altering

the lifespan of eukarvotic organisms, and screening

for such compounds INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			APF	LI	CAT	ION:	NO.		D	ATE	
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US	2009	0163	545		A1		2009	0625		US	20	08-	3416	15		2	0081	222
AU	2008	3452	25		A1		2009	0709		AU	20	08-	3452	25		2	0081	222
CA	2709	784			A1		2009	0709		CA	20	08-	2709	784		2	0081	222
EP	2219	646			A2		2010	0825		EΡ	20	08-	8674	10		2	0081	222
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		IE,	IS,	IT,	LI,	LT,	LU,	LV,	MC,	ΜI	٠,	NL,	NO,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	AL,	BA,	MK,	RS											
ORITY	APP	LN.	INFO	. :						US	20	08-	2380	1P		P 2	0800	125

PRIC

US	2007-16362P	P	20071221
US	2008-341615		20081222
WO	2008-US88016	W	20081222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

313702-68-0

RL: PAC (Pharmacological activity); BIOL (Biological study) (method using lifespan-altering compds. for altering lifespan of eukarvotic organisms, and screening for such compds.)

RM 313702-68-0 HCAPLUS

Phenol, 4-[[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino]- (CA INDEX NAME)

ACCESSION NUMBER:

L14 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN 2009:700784 HCAPLUS Full-text

DOCUMENT NUMBER: 151:115596 TITLE:

Generation of Ligand-Based Pharmacophore Model and

Virtual Screening for Identification of Novel Tubulin

Inhibitors with Potent Anticancer Activity

AUTHOR(S): Chiang, Yi-Kun; Kuo, Ching-Chuan; Wu, Yu-Shan; Chen,

Chung-Tong; Coumar, Mohane Selvaraj; Wu, Jian-Sung; Haieh, Hsing-Pang; Chang, Chi-Yen; Jseng, Huan-Yi; Wu, Ming-Hsine; Leou, Jiun-Shyang; Song, Jen-Shin; Chang, Jang-Yang; Lvu, Ping-Chiang; Chao, Yu-Sheng; Wu,

Su-Yina

CORPORATE SOURCE: Institute of Bioinformatics and Structural Biology,
National Tsing Hua University, Hsinchu, 300, Taiwan

SOURCE: Journal of Medicinal Chemistry (2009), 52(14),

4221-4233

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AR A pharmacophore model, Hypol, was built on the basis of 21 training-set indole compds. with varying levels of antiproliferative activity. Hypol possessed important chemical features required for the inhibitors and demonstrated good predictive ability for biol. activity, with high correlation coeffs. of 0.96 and 0.89 for the training-set and test-set compds., resp. Further utilization of the Hypol pharmacophore model to screen chemical database in silico led to the identification of four compds. with antiproliferative activity. Among these four compds., 43 showed potent antiproliferative activity against various cancer cell lines with the strongest inhibition on the proliferation of KB cells (IC50 = 187 nM). Further biol. characterization revealed that one complound effectively inhibited tubulin polymerization and significantly induced cell cycle arrest in G2-M phase. In addition, the compound also showed the in vivo-like anticancer effects. To our knowledge, this compound is the most potent antiproliferative compound with antitubulin activity discovered by computer-aided drug design. The chemical novelty of the compound and its anticancer activities make this compound worthy of further

IT 380473-18-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(generation of ligand-based pharmacophore model and virtual screening for identification of novel tubulin inhibitors with potent

anticancer activity) RN 380473-18-7 HCAPLUS

lead optimization.

CN Phenol, 2-[4-[(2,5-dimethylphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2008:640658 HCAPLUS Full-text

DOCUMENT NUMBER: 149:9754
TITLE: Preparation of 2-hydroxy-1,3-diaminopropane

derivatives for the treatment of neurological or

vascular disorders
INVENTOR(\$): Frederiksen, Mathias; Lueoend, Rainer Martin;

McCarthy, Clive; Moebitz, Henrik; Rondeau,

Jean-Michel; Roy, Bernard Lucien; Rueeger, Heinrich

PATENT ASSIGNEE(S): Novartis A.-G., Switz. SOURCE: PCT Int. Appl., 53 pp.

SOURCE: PCT Int. Appl CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR

PA:	TENT :	NO.					DATE				ICAT				D	ATE	
WO	2008	0620	44												2	0071	122
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	MΤ,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
							GA,										
							ΜZ,			SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
							ТJ,										
	2007																
	2669																
	2009																
ΕP	2094																
	R:						CZ,										
							LV,										
	2010																
IN	2009	DN02	534		A		2010	0820		IN 2	009-	DN25	34		2	0090	417
	1015																
	2009																
	2010				A1		2010	0610									
RITY	Y APP	LN.	INFO	. :							006-						
R SC	DURCE	(S):			CASI	REAC	T 14	9:97			007-1 AT 1				W 2	0071	122
-		, .							. ,		_						

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [RI = H, alkyl, (un)substituted cycloalkyl, aryl or heteroaryl; R2 = H, halo, alkyl, alkoxy, alkoxy-alkyl, alkylthio, (un)substituted cycloalkyl, cycloalkyl-alkyl or cycloalkyl-alkoxy; R3 = H; R4 = H, alkyl, halogen-substituted alkyl, alkoxy-alkyl, alkylthioalkyl, alkylaminoalkyl, (un)substituted cycloalkyl, aryl or heteroaryl; R5 = H, alkyl, alkoxyalkyl or halogen-substituted alkyl; R6 = H

or alkyl; or R5 and R6 together with the carbon atom, to which they are attached form (un)substituted cycloalkyl, R7 = alkyl, cycloalkylalkyl or halogen-substituted alkyl; R7 = alkyl, cycloalkylalkyl or halogen-substituted alkyl; and their pharmaceutically acceptable salks, are preparas is inhibitors of β -secretase. Thus, e.g., II was prepared by reacting $N^{-}(S)-1-((S)-oxiran-2-yl)-2-[4-[(6-phenylpyrimidin-4-yl)amino]phenyl]ethyl]acetamide (preparation given) with [1-(3-isopropylphenyl)cyclopropyl]amine hydrochloride (preparation given). The invention compds. were evaluated for their inhibitory activity of human BACE, BACE-2, human Cathepsin and cellular release of amyloid peptide 1-40, and showed activity at concentration < 50 <math display="inline">\mu$ M. E.g., II showed inhibition of BACE-activity with an ICSO value of 23 μ M. I should prove useful for the treatment of neurol. or vascular disorders related to β -amyloid generation and/or aggregation.

IT 1029719-46-7P, N-[(1S,2R)-3-[[1-(3-tert-

Butylphenyl)cyclopropyl]amino]-2-hydroxy-1-[4-[[2-(2-hydroxyphenyl)-6-methylpyrimidin-4-yl]amino]benzyl]propyl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxydiaminopropane derivs. for the treatment of neurol. or vascular disorders)

RN 1029719-46-7 HCAPLUS

CN Acetamide, N-[(1S,2R)-3-[[1-[3-(1,1-

dimethylethyl)phenyl]cyclopropyl]amino]-2-hydroxy-1-[[4-[[2-(2hydroxyphenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]methyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2007:1023400 HCAPLUS Full-text

DOCUMENT NUMBER: 147:357124

TITLE: Use of inhibitors of scavenger receptor class proteins

for the treatment of infectious diseases

INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.; Prudencio, Miguel; Rodrigues, Christina Dias

PATENT ASSIGNEE(S): Cenix Bioscience G.m.b.H., Germany; Instituto de

Medicina Molecular, Faculdade de Medicina da

Universidade de Lisboa SOURCE: PCT Int. Appl., 127pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PA:	TENT :	NO.			KIN	_	DATE			APPL						ATE	
WO	2007	1017	10				2007	0913								0070	309
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM									
EΡ	1832	283			A1		2007	0912		EP 2	006-	4854			2	0060	309
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
		BA,	HR,	MK,	YU												
CA	2645	211			A1		2007	0913		CA 2	007-	2645	211		2	0070	309
ΕP	1991	215			A1		2008	1119		EP 2	007-	7231	62		2	0070	309
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR
CN	1014	8954	2		A		2009	0722		CN 2	007-	8000	8109		2	0800	908
	2009				A1		2009	1231								0081	
RIT:	Y APP	LN.	INFO	. :						EP 2						0060	
										US 2						0060	
										WO 2	007-	EP21	10	1	W 2	0070	309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 147:357124

- AB The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarB1 for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarB1 reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.
- 330819-79-9 IΤ

PR

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)

330819-79-9 HCAPLUS RN

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2007:1018595 HCAPLUS Full-text 147:357121

DOCUMENT NUMBER:

TITLE: Use of inhibitors of scavenger receptor class proteins

for the treatment of infectious diseases

INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.;

Prudencio, Miguel; Rodrigues, Christina Dias

PATENT ASSIGNEE(S): Cenix Bioscience GmbH, Germany; Instituto De Medicina

Molecular

SOURCE: Eur. Pat. Appl., 66 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	TENT	NO.			KIN)	DATE			APPL	ICAT	ION	NO.		D	ATE	
EP	1832	283			A1		2007	0912		EP 2	006-	4854			2	0060	309
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
		BA,	HR,	MK,	YU												
CA	2645	211			A1		2007	0913		CA 2	007-	2645	211		2	0070	309
WO	2007	1017	10		A1		2007	0913		WO 2	007-	EP21	10		2	0070	309
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM									
EP	1991	215			A1		2008	1119		EP 2	007-	7231	62		2	0070	309
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR
CN	1014	8954	2		A		2009	0722		CN 2	007-	8000	8109		2	0800	908
US	2009	0324	580		A1		2009	1231		US 2	-800	2814	38		2	0081	212
PRIORITY	Y APP	LN.	INFO	. :						EP 2	006-	4854		- 1	A 2	0060	309
										US 2	006-	7805	67P	1	P 2	0060	309
										WO 2	007-	EP21	10	1	W 2	0070	309

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:357121

The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarBl for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarBl reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.

330819-79-9 TT

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS

(Biological study); USES (Uses)

(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)

330819-79-9 HCAPLUS

CN

4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2006:1159256 HCAPLUS Full-text

13

DOCUMENT NUMBER: 145:471852

TITLE: Preparation of N-(4-pyrimidinylcarbonyl) amino acid piperazides and their use as P2Y12 receptor

antagonists

INVENTOR(S):

Caroff, Eva; Fretz, Heinz; Hilpert, Kurt; Houille, Olivier; Hubler, Francis; Meyer, Emmanuel

PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd, Switz.

SOURCE: PCT Int. Appl., 381pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

REFERENCE COUNT:

PAT	ENT	NO.			KIN	D	DATE		i	APPL	ICAT	ION :	NO.		D	ATE	
	2006 2006								1	WO 2	006-	IB51	318		2	0060	427
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
AR	5699	2			A1		2007	1107		AR 2	006-	1016	70		2	0060	426
ΑU	2006	2412	60		A1		2006	1102		AU 2	006-	2412	60		2	0060	427
CA	2604	967			A1		2006	1102		CA 2	006-	2604	967		2	0060	427
EP	1893	634			A2		2008	0305	1	EP 2	006-	7280	64		2	0060	427
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR
JP	2008	5392	24		T		2008	1113		JP 2	-800	5084	00		2	0060	427

BR 2006008089	A2	20091110	BR	2006-8089		20060427
US 20080194576	A1	20080814	US	2007-912545		20071025
MX 2007013436	A	20080116	MX	2007-13436		20071026
CN 101166756	A	20080423	CN	2006-80014374		20071026
KR 2008004608	A	20080109	KR	2007-7026652		20071116
NO 2007006094	A	20080125	NO	2007-6094		20071127
IN 2007CN05449	A	20080328	IN	2007-CN5449		20071128
PRIORITY APPLN. INFO.:			WO	2005-EP4578	A	20050428
			WO	2005-IB53711	A	20051110
			WO	2006-TB51318	TaT	20060427

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): CASREACT 145:471852; MARPAT 145:471852 GI

AB The invention relates to the preparation of title compds. I [R1 = (un)substituted Ph; W = a bond and R2 = CN, halo/alkoxy/heterocyclyl/cyclo/cycloalkyl/alkyl, hetero/ary/, heterocyclyl, (partially) saturated heterocyclyl; (un)substituted hydroxyalkyl; W = CH2 and R2 = NR7R8, SR9, SO2R10; W = O, S, and R2 = alkoxycarbonyl/carboxy/hydroxy/alkoxy/heterocyclyl/cyclo/ar/heteroaryl/alk yl, hetero/arvl; W = NH and derivs, and R2 = H. dialkylamino/alkoxycarbonyl/hydroxy/alkoxy/cyclo/heterocyclyl/cycloalkyl/a r/diphenyl/heteroaryl/alkyl, aryl, 2-phenylcyclopropyl, COR11, SO2R12, (un) substituted carboxyalkyl; W = CH:CH and R2 = hydroxy/alkoxy/alkyl alkoxycarbonyl, Ph, or CONR13R14; ; or W = C.tplbond.C and R2 = H, hydroxy/alkoxy/alkyl; or W = CO and R2 = alkyl; W = NR3 and NR2R3 = 4-7 membered heterocyclyl; or W = NR3 and NR2R3 = (un)substituted imidazoyl, pyrazolyl, 1,2,3triazolyl, etc.; R5a, R5b = independently H, Me; R3 = H, alkyl; R7 aryl/alkyl; or NR7R8 = (un)substituted 4-7 membered heterocycly1; R9 = cycloalky1, ary1; R10 = cyclo/alkyl, aryl; R11 = alkoxy/alkyl, hetero/aryl, etc.; R12 = alkyl, aryl; R13, R14 = independently alkyl; X = CO and R6 = cyclo/alkyl, alk(ynyl)oxy, aryloxy, aralkoxy, hetero/aryl, aralkyl or NH2 and derivs.; or X = SO2 and R6 = alkyl; Y = a bond and Z = H, arv1 substituted by carboxyalkoxy; or Y =

alkoxy/Ph/alkoxyphenyl/alkylene, alkoxyphenylene and Z = H, OH, NH2, CO2H, tetrazolyl, CONH2, COOR17, NHCOR17, NHSO2R17; R17 = alkyll, as P2Y12 receptor antagonists. The invention also relates to the use of pyrimidines I and their stereoisomers, salts, solvent complexes and morphol. forms, in the treatment and/or prevention of peripheral vascular, visceral-, hepatic- and renal-vascular, of cardiovascular and of cerebrovascular diseases (no data) or conditions associated with platelet aggregation (no data), particularly thrombosis (no data). Thus, a multi-step synthesis starting from Z-L-Glu(Ot-Bu)-OH (Z = benzyloxycarbonyl) and 1-ethoxycarbonylpiperazine was given for amino acid piperazide II. In a P2Y12 binding assay, II had an ICSO = 117 MM.

II 933948-85-3P, 4-[(S)-4-tert-Butoxycarbonyl-2-[[(2-phenyl-6phenylaminopyrimidin-4-yl)carbonyl]amino]butanoyl]piperazine-1-carboxylic acid ethyl ester 913948-86-4P,

4-[(S)-4-tert-Butoxycarbonyl-2-[[[6-[(4-fluorophenyl)amino]-2-phenylpyrimidin-4-yl]carbonyl]amino]butanoyl]piperazine-1-carboxylic acid athyl ester

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of N-(4-pyrimidinylcarbonyl) amino acid piperazides and their use as P2Y12 receptor antagonists)

RN 913948-85-3 HCAPLUS

CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-δ-oxo-γ-[[[2-phenyl-6-(phenylamino)-4-pyrimidinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (γS)- (CA INDEX NAME)

Absolute stereochemistry.

RN 913948-86-4 HCAPLUS

CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-y-[[[6-[(4-fluorophenyl)amino]-2-phenyl-4-pyrimidinyl]carbonyl]amino]-δ-oxo-, 1.1-dimethylethyl ester, (γS)- (CA INDEX NAME)

Absolute stereochemistry.

IT 913947-77-0P, 4-[(s)-4-Carboxy-2-[[(2-phenyl-6phenylaminopyrimidin-4-yl)carbonyl]amino]butanoyl]piperazine-1-carboxylic acid ethyl ester 913947-78-1P 913947-79-2P, 4-[(s)-4-Carboxy-2-[[[6-[(4-fluorophenyl)amino]-2-phenylpyrimidin-4yl]carbonyl]amino]butanoyl]piperazine-1-carboxylic acid ethyl ester RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-(4-pyrimidinylcarbonyl) amino acid piperazides and their use as P2Y12 receptor antagonists)

RN 913947-77-0 HCAPLUS

CN 1-Piperazinepentanoic acid, 4-(ethoxycarbony1)-δ-oxo-γ-[[[2-pheny1-6-(pheny1amino)-4-pyrimidiny1]carbony1]amino]-, (γS)- (CA INDEX NAME)

Absolute stereochemistry.

RN 913947-78-1 HCAPLUS

CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-δ-oxo-γ-[[[2-phenyl-6-(phenylamino)-4-pyrimidinyl]carbonyl]amino]-, (γS)-, 2.2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM :

CRN 913947-77-0 CMF C29 H32 N6 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 913947-79-2 HCAPLUS

2N 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-y-[[[6-[(4-fluorophenyl)amino]-2-phenyl-4-pyrimidinyl]carbonyl]amino]-δ-oxo-, (γ5)- (CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2004:331897 HCAPLUS Full-text

DOCUMENT NUMBER: 140:350578

TITLE: Small organic compounds for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL

INVENTOR(S): Nieland, Thomas J. F.; Krieger, Monty; Kirchhausen,

Tomas

PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; Center for Blood Research, Inc.

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT I	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
		2004				A2 A9		2004			WO 2	003-	US31	918		2	0031	008
		2004						2004										
	WO	2004	0327	16		A3		2004	0930									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM.	HR.	HU.	TD.	TI	IN,	TS.	JP.	KE.	KG.	KP.	KR.	K7.	LC.	LK.	LR.
								MD,										
								RU,										
															31,	10,	111,	114,
								UZ,										
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF.	BJ.	CF.	CG.	CI,	CM.	GA,	GN,	GO,	GW,	ML.	MR.	NE.	SN.	TD,	TG
	CA	2501	685			A1		2004	0422		CA 2	003-	2501	685		2	0031	008
	ΔΠ	2003	2889					2004										
		2004																
	EP	1562				A2		2005										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JP	2006	5152	74		T		2006	0525		JP 2	004-	5435	48		2	0031	800
PRIOR	RITY	APP:	LN.	INFO	. :						US 2	002-	4170	83P	1	P 2	0021	008
											WO 2						0031	

Methods for regulation of lipid and cholesterol uptake are described which are based AB on regulation of the expression or function of the SR-BI HDL receptor. The examples demonstrate that estrogen dramatically down-regulates SR-BI under conditions of tremendous upregulation of the LDL-receptor. The examples also demonstrate the upregulation of SR-BI in rat adrenal membranes and other non-placental steroidogenic tissues from animals treated with estrogen, but not in other non-placental nonsteroidogenic tissues, including lung, liver, and skin. Examples further demonstrate the uptake of fluorescently labeled HDL into the liver cells of animal, which does not occur when the animals are treated with estrogen. Examples also demonstrate the in vivo effects of SR-BI expression on HDL metabolism, in mice transiently overexpressing hepatic SR-BI following recombinant adenovirus infection. Overexpression of the SR-BI in the hepatic tissue caused a dramatic decrease in cholesterol blood levels. These results demonstrate that modulation of SR-BI levels, either directly or indirectly, can be used to modulate levels of cholesterol in the blood. Over 200 small organic compds. are identified that alter the transfer of lipids between HDL and cells mediated by the HDL receptor SR-BI, cellular and selective lipid uptake of HDL cholesteryl ether, and efflux of cellular cholesterol to HDL; several compds, have IC50 values in the micromolar or lower range. They specifically alter SR-BI binding, as they required the expression of active SR-BI receptors and they did not interfere with several clathrin-dependent and independent endocytic pathways, the secretory pathway, nor the actin- or tubulin cytoskeletal networks. Strikingly, inhibition of lipid transfer was accompanied by enhanced HDL binding affinity (reduced dissociation rates).

IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

330819-79-9 HCAPLUS CN

4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (14 CITINGS)

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2003:261678 HCAPLUS Full-text 138:287691

DOCUMENT NUMBER:

TITLE:

Preparation of 4-aminopyrimidine derivatives as

insulin secretion accelerators

INVENTOR(S): Yonetoku, Yasuhiro; Maruyama, Tatsuya; Negoro, Kenji; Moritomo, Hiroyuki; Imanishi, Naoki; Shimada, Itsuro;

Moritomo, Ayako; Hamaguchi, Wataru; Misawa, Hana;

Yoshida, Shigeru; Ohishi, Takahide

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIN	D	DATE				ICAT				D.	ATE	
	2003				A1	-	2003				002-					0020	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	ΤT,	TZ,	UA,
		UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ΒG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
							IT,								BF,	ΒJ,	CF,
							GQ,							TG			
	2002				A1		2003	0407		AU 2	002-	3303	83		2	0020	912
PRIORIT:	Y APP	LN.	INFO	.:						JP 2	001-	2796	71		A 2	0010	914
										JP 2	002-	1210	12		A 2	0020	423
										WO 2	002-	JP93	50	,	W 2	0020	912
OTHER SO	OURCE	(S):			MAR	PAT	138:	2876	91								

AB Disclosed are insulin secretion accelerators containing the 4-aminopyrimidine derivs. [I; R11 = A11-D11 (wherein A11 = single bond, lower alkylene, lower alkenylene; D11 = each (un)substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl); R12 = H, lower alkyl optionally substituted by ≥1 groups selected from aryl, halo, lower alkoxy, and OH; R13 = H, Me, F; R14 = H, lower alkyl optionally substituted by ≥1 halogens; R15 = A15-D15 (wherein A15 = single bond, lower alkylene, lower alkenylene; D15 = H, lower alkoxy, amino optionally substituted by 1 or 2 groups selected from lower alkyl and aryl, each (un) substituted aryl, cycloalkyl, or aromatic or non-aromatic heterocyclyl)] or pharmaceutically acceptable salts thereof as the active ingredients. These compds. are highly effective in promoting insulin secretion, increasing insulin content, and inhibiting blood sugar level from increasing and are usable for treatments for insulin-dependent diabetes, non-insulin-dependent diabetes, insulin-resistant diseases, and obesity. Thus, a mixture of 284 mg 2-(4-bromophenyl)-4-chloro-6methylpyrimidine, 1 mL 70% aqueous ethylamine solution, 2 mL MeOH was stirred at room temperature for 2 h and at 60° for 3 h, treated again with 1 mL 70% aqueous ethylamine solution, and stirred at 60° for 5 h to give 198 mg N-[2-(4-bromophenyl)-6-methylpyrimidin-4- yl]ethylamine (II). II in vitro promoted the secretion of insulin in mouse spleen β -cells by 159% vs. 122% for Glibenclamide.

IT 504404-59-5, 2-[4-[[2-(2,4-Dimethoxyphenyl)-6-methylpyrimidine-4-yl]amino]phenyl]ethanol

RL: RCT (Reactant); RACT (Reactant or reagent)

(demethylation and bromination by hydrogen bromide in acetic acid; preparation of 4-aminopyrimidine derive. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-59-5 HCAPLUS

CN Benzeneethanol, 4-[[2-(2,4-dimethoxyphenyl)-6-methyl-4-pyrimidinyl]amino]-(CA INDEX NAME)

IT 504404-58-4, 2-[3-[[2-(2-Methoxyphenyl)-6-methylpyrimidine-4-

vl|amino|phenvl|-N,N-dimethvlacetamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(demethylation with pyridine hydrochloride; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-58-4 HCAPLUS

CN

Benzeneacetamide, 3-[[2-(2-methoxyphenyl)-6-methyl-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)

IT 504404-57-3P, 4-Fluoro-N-[2-[2-(methoxymethyl)phenyl]-6-

methylpyrimidine-4-yl]aniline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and demethylation with hydrochloric acid in aqueous propanol; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 504404-57-3 HCAPLUS

CN 4-Pyrimidinamine, N-(4-fluorophenyl)-2-[2-(methoxymethyl)phenyl]-6-methyl-(CA INDEX NAME)

тт 378217-44-8P 504399-71-7P 504399-74-0P 504399-75-1P 504399-76-2P 504399-77-3P 504399-79-59 504399-80-8P 504399-82-0P 504399-83-1P 504399-85-3P 504399-88-6P 504399-90-0P 504399-91-1P 504399-92-29 504401-66-5P 504401-67-6P 504401-68-7P 504404-14-2P 504404-23-39

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant diseases, and obesity)

RN 378217-44-8 HCAPLUS

CN Phenol, 2-[4-[(4-fluorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

- RN 504399-71-7 HCAPLUS
- CN Benzenemethanol, 4-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]-(CA INDEX NAME)

- RN 504399-74-0 HCAPLUS
- CN 4-Pyrimidinamine, N,2-bis(4-methoxyphenyl)-6-methyl- (CA INDEX NAME)

- RN 504399-75-1 HCAPLUS
- CN Benzeneacetamide, 3-[[2-(2-hydroxypheny1)-6-methy1-4-pyrimidiny1]amino]-N,N-dimethy1- (CA INDEX NAME)

- RN 504399-76-2 HCAPLUS

- RN 504399-77-3 HCAPLUS
- CN 1,3-Benzenediol, 4-[4-[[4-(2-bromoethy1)pheny1]amino]-6-methy1-2pyrimidiny1]- (CA INDEX NAME)

- RN 504399-79-5 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-chloro-4-fluorophenyl)-6-ethyl-N-[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]- (CA INDEX NAME)

- RN 504399-80-8 HCAPLUS
- CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]- (CA INDEX NAME)

- RN 504399-82-0 HCAPLUS
- CN 4-Pyrimidinemethanol, 2-(4-bromophenyl)-6-[[4-(2hydroxyethyl)phenyl]amino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
 - CM 1
 - CRN 504399-81-9
 - CMF C19 H18 Br N3 O2

CRN 144-62-7 CMF C2 H2 O4

RN 504399-83-1 HCAPLUS

CN Benzeneacetic acid, 4-[[2-(4-bromophenyl)-6-ethyl-4-pyrimidinyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

Methanesulfonamide, N-[2-[4-[[2-(4-bromophenyl)-6-ethyl-4pyrimidinyl]amino]phenyl]ethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM :

CRN 504399-84-2

CMF C21 H23 Br N4 O2 S

CM 2

CRN 144-62-7

CMF C2 H2 O4

- RN 504399-88-6 HCAPLUS
- CN 3-Pyridinecarboxamide, N-[2-[4-[[2-(4-bromophenyl)-6-methyl-4pyrimidinyl]amino]phenyl]ethyl]- (CA INDEX NAME)

- RN 504399-90-0 HCAPLUS
- CN 1,2-Ethanediamine, N1-[5-[2-[4-[12-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]ethyl]-2-pyridinyl]-, ethanedioate (1:1) (CA INDEX NAME)
 - CM
 - CRN 504399-89-7 CMF C26 H27 Br N6

- CM 2
- CRN 144-62-7
- CMF C2 H2 O4

- RN 504399-91-1 HCAPLUS
- CN Benzamide, 4-[4-methyl-6-[[4-[2-(1-oxido-3-pyridinyl)ethyl]phenyl]amino]-2pyrimidinyl]- (CA INDEX NAME)

RN 504399-92-2 HCAPLUS

CN Pyridinium, 3-[2-[4-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]ethyl]-1-methyl-, iodide (1:1) (CA INDEX NAME)

RN 504401-66-5 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-fluorophenyl)-6-methyl- (CA INDEX NAME)

RN 504401-67-6 HCAPLUS

CN Benzenemethanol, 3-[[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 504401-68-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromopheny1)-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-6methyl- (CA INDEX NAME)

RN 504404-14-2 HCAPLUS

CN Benzeneethanol, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX

RN 504404-23-3 HCAPLUS

CN Benzaldehyde, 4-[4-(1,3-benzodioxol-5-ylamino)-6-methyl-2-pyrimidinyl]-(CA INDEX NAME)

IT 504404-55-1, 4-[4-[(4-Methoxyphenyl)amino]-6-methylpyrimidine-2vl]benzoic acid methyl ester

RL: RCT (Reactant); RACT (Reactant or reagent)

(saponification to free acid; preparation of 4-aminopyrimidine derivs. as insulin secretion accelerators for treating diabetes, insulin-resistant

diseases, and obesity)

RN 504404-55-1 HCAPLUS

Benzoic acid, 4-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]-, CN methyl ester (CA INDEX NAME)

(1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 2002:465821 HCAPLUS Full-text

DOCUMENT NUMBER: 137:47211

TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of apoptosis,

their preparation, and the use thereof as, e.g., anticancer agents

INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P.

Sanjeeva; Pervin, Azra

Sanjeeva; Pervin, Azra
PATENT ASSIGNEE(S): Cytovia, Inc., USA

SOURCE: Cycovia, Inc., USA
PCT Int. Appl., 210 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

									APPLICATION NO.									
W					A1		20020620		WO 2001-US47498						20011212			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TΤ,	TZ,	
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	zw									
	RW	: GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
A	AU 2002028922				A		2002	0624	AU 2002-28922						20011212			
U	US 20030069239				A1 20030410				US 2001-12444						20011212			
U	US 6716851				B2 20040406													
E	EP 1351691			A1 20031015			EP 2001-990048					20011212						
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
U	US 20040097503				A1	A1 20040520				US 2003-704448					20031110			
U	S 722	5927			B2		2007	0605										
PRIORITY APPLN. INFO.:									US 2	000-	2545	81P	1	P 2	0001	212		
										US 2	001-	1244	4	- 1	A3 2	0011	212	
										WO 2	001-	JS47	498	1	W 2	0011	212	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OTHER SOURCE(S): MARPAT 137:47211 GI

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AB
     The invention is directed to substituted 2-arvl-4-(arvlamino)pyrimidines I and
     analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or
     heteroarvl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, arvl, fused
     aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl,
     arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl,
     carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH,
     SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or
     alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention
     also relates to the discovery that compds. I are activators of caspases and inducers
     of apoptosis. I may be used to induce cell death in a variety of clin. conditions
     in which uncontrolled growth and spread of abnormal cells occurs. In particular, a
     method of treating disorders responsive to the induction of apoptosis, comprising
     administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is
     claimed. Over 200 specific examples of I are described. For instance, condensation
     of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave
     title compound II in 44% vield. This compound induced apoptosis and activated
     caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another
     compound I also showed marked selectivity for human breast cancer cells over other,
     non-breast cancer cell lines.
IT
     300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine
     438247-48-4P, 4-(4-Methoxyanilino)-6-(methoxymethyl)-2-(3-
     methylphenyl)pyrimidine
                             438247-49-59,
     4-(4-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine
     438247-50-8P, 4-[4-(Dimethylamino)anilino]-6-(methoxymethyl)-2-(3-
     methylphenyl)pyrimidine
                             438247-51-99,
     4-[4-(Dimethylamino)anilino]-6-methyl-2-(3-methylphenyl)pyrimidine
     438247-54-2P, 4-(3-Methoxyanilino)-6-methyl-2-(3-
     methylphenyl)pyrimidine
                             438247-57-59,
     4-(3-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine
     438247-74-6F, 4-(2,5-Dimethoxyanilino)-6-(methoxymethyl)-2-(3-
     methylphenyl)pyrimidine 438247-91-7P.
     4-(2-Chloro-5-methoxvanilino)-6-(methoxvmethvl)-2-(3-
     methylphenyl)pyrimidine 438247-92-8P,
     4-(5-Methoxy-2-methylanilino)-6-(methoxymethyl)-2-(3-
     methylphenyl)pyrimidine 438248-08-9P,
     4-(3-Methoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine
     438248-10-3P, 4-(2,5-Dimethoxyanilino)-2-phenyl-6-
     (trifluoromethyl)pyrimidine
                                  438248-12-5P.
     4-(3,4-Dimethoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine
     438248-14-7P, 4-(5-Methoxy-2-methylanilino)-2-phenyl-6-
     (trifluoromethyl)pyrimidine 438248-16-9P,
     4-(2-Chloro-5-methoxyanilino)-2-phenyl-6-(trifluoromethyl)pyrimidine
     438248-18-19, 4-(3,4-Methylenedioxyanilino)-2-phenyl-6-
     (trifluoromethyl)pyrimidine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of substituted
        aryl(arylamino)pyrimidines and analogs as caspase activators, apoptosis
        inducers, and anticancer agents)
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4-Pvrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)

RN

CN

300359-08-4 HCAPLUS

RN 438247-48-4 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(4-methoxyphenyl)-2-(3-methylphenyl)-(CA INDEX NAME)

RN 438247-49-5 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)

RN 438247-50-8 HCAPLUS

CN 1,4-Benzenediamine, N4-[6-(methoxymethyl)-2-(3-methylphenyl)-4pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

RN 438247-51-9 HCAPLUS

CN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[6-methyl-2-(3-methylphenyl)-4pyrimidinyl]- (CA INDEX NAME)

RN 438247-54-2 HCAPLUS

CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)

RN 438247-57-5 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethy1)-N-(3-methoxypheny1)-2-(3-methy1pheny1)-(CA INDEX NAME)

RN 438247-74-6 HCAPLUS

CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-6-(methoxymethyl)-2-(3-methylphenyl)- (CA INDEX NAME)

RN 438247-91-7 HCAPLUS

CN 4-Pyrimidinamine, N-(2-chloro-5-methoxyphenyl)-6-(methoxymethyl)-2-(3methylphenyl)- (CA INDEX NAME)

RN 438247-92-8 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(5-methoxy-2-methylphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)

RN 438248-08-9 HCAPLUS

CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-phenyl-6-(trifluoromethyl)- (CA INDEX NAME)

RN 438248-10-3 HCAPLUS

CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-2-phenyl-6-(trifluoromethyl)-(CA INDEX NAME)

RN 438248-12-5 HCAPLUS

CN 4-Pyrimidinamine, N-(3,4-dimethoxyphenyl)-2-phenyl-6-(trifluoromethyl)-(CA INDEX NAME)

RN 438248-14-7 HCAPLUS

CN 4-Pyrimidinamine, N-(5-methoxy-2-methylphenyl)-2-phenyl-6-(trifluoromethyl)- (CA INDEX NAME)

RN 438248-16-9 HCAPLUS

CN 4-Pyrimidinamine, N-(2-chloro-5-methoxypheny1)-2-pheny1-6-(trifluoromethy1)- (CA INDEX NAME)

RN 438248-18-1 HCAPLUS

CN 4-Pyrimidinamine, N-1,3-benzodioxol-5-yl-2-phenyl-6-(trifluoromethyl)-(CA INDEX NAME)

IT 300359-07-3, 4-(2-Methylanilino)-2-phenyl-6-methylpyrimidine 331648-44-3, 4-(4-Methoxyanilino)-2-(2-hydroxyphenyl)-6methylpyrimidine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; preparation of substituted

aryl(arylamino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

RN 300359-07-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)

331648-44-3 HCAPLUS RN

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS

RECORD (10 CITINGS)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1976:17420 HCAPLUS Full-text DOCUMENT NUMBER: 84:17420

ORIGINAL REFERENCE NO.: 84:2894h,2895a

TITLE: 6-Pyrimidinylacetohydroxamic acids for

pharmaceutical uses

INVENTOR(S): Fauran, Claude; Eberle, Jeannine; Bourgery, Guy;

Raynaud, Guy; Gouret, Claude PATENT ASSIGNEE(S): Delalande S. A., Fr.

SOURCE: Ger. Offen., 23 pp. Addn. to Ger. Offen. 2,252,822.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

F

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2510026	A1	19750925	DE 1975-2510026	19750307
FR 2264529	A2	19751017	FR 1974-9235	19740319
BE 826017	A4	19750826	BE 1975-153774	19750226
CH 567001	A5	19750930	CH 1975-2649	19750303
US 4013768	A	19770322	US 1975-554532	19750303
GB 1438099	A	19760603	GB 1975-8829	19750304
AU 7578821	A	19760909	AU 1975-78821	19750305
ES 435406	A2	19770301	ES 1975-435406	19750307
ZA 7501560	A	19760225	ZA 1975-1560	19750313
JP 50126681	A	19751004	JP 1975-31269	19750317
SE 7503058	A	19750922	SE 1975-3058	19750318
NL 7503227	A	19750923	NL 1975-3227	19750318
SU 530643	A3	19760930	SU 1975-2115291	19750318
PRIORITY APPLN. INFO.:			FR 1974-9235 A	19740319

GI For diagram(s), see printed CA Issue.

AB Pyrimidineacetohydroxamic acids [I, R = o-, p-HZNCO, p-morpholinocarbonyl, p-piperidinocarbonyl, p-pyrrolidinocarbonyl, R-pyrrolidinocarbonyl, R-pyrolidinocarbonyl, Pyrolidinocarbonyl, Pyrolidinoca

IT \$7630-88-3\$ 57630-88-4\$ 57630-90-7\$

57630-91-89 57630-92-99 57630-93-09

57630-94-19 57630-95-29 57630-96-39

57631-03-97-49 57631-98-59 57630-99-69

57631-03-59 576531-01-39 576531-03-59

576531-03-59 57653-74-29 576539-75-3\$

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and pharmaceutical uses of)

RN 57630-88-3 HCAPLUS

N 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(4chlorophenyl)-N-hydroxy- (CA INDEX NAME)

RN 57630-89-4 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(4-chlorophenyl)-N-hydroxy-6-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]- (CA INDEX NAME)

RN 57630-90-7 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(4-chlorophenyl)-N-hydroxy-6-[[4-(1-piperidinylcarbonyl)phenyl]amino]- (CA INDEX NAME)

RN 57630-91-8 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(3-chlorophenyl)-N-hydroxy-6-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 57630-92-9 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(4-chlorophenyl)-N-hydroxy-6-[[4-(4-morpholinylcarbonyl)phenyl]amino]- (CA INDEX NAME)

RN 57630-93-0 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(3-fluorophenyl)-N-hydroxy- (CA INDEX NAME)

RN 57630-94-1 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-N-hydroxy-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 57630-95-2 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[4-(aminocarbonyl)phenyl]amino]-N-hydroxy-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 57630-96-3 HCAPLUS

CN 4-Pyrimidineacetamide, N-hydroxy-6-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 57630-97-4 HCAPLUS

CN 4-Pyrimidineacetamide, N-hydroxy-6-[[4-(1-piperidinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 57630-98-5 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-N-hydroxy-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

RN 57630-99-6 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[4-(aminocarbony1)pheny1]amino]-N-hydroxy-2-(3,4,5-trimethoxypheny1)- (CA INDEX NAME)

$$\begin{array}{c|c} H_2N- & OMe \\ \hline \\ H_2N- & OMe \\ \hline \\ H_2- & NH-OH \\ \end{array}$$

- RN 57631-00-2 HCAPLUS
- CN 4-Pyrimidineacetamide, N-hydroxy-6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

- RN 57631-01-3 HCAPLUS
- CN 4-Pyrimidineacetamide, N-hydroxy-6-[[4-(1-piperidinylcarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

- RN 57631-02-4 HCAPLUS
- CN 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(1,3-benzodioxol-5-yl)-N-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

RN 57631-03-5 HCAPLUS

CN 4-Pyrimidineacetamide, 2-(1,3-benzodioxol-5-yl)-N-hydroxy-6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 57659-74-2 HCAPLUS

CN 4-Pyrimidineacetamide, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(3-chlorophenyl)-N-hydroxy- (CA INDEX NAME)

RN 57659-75-3 HCAPLUS

CN 4-Pyrimidineacetamide, N-hydroxy-6-[[4-(4morpholinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]- (CA
INDEX NAME)

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T 57630-67-8 57630-68-9 57630-69-0
57630-70-3 57630-71-4
57630-73-6 57630-74-7 57630-72-5
57630-73-6 57630-77-0 57630-78-1
57630-79-2 57630-80-5
57630-82-7 57630-80-5
EL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxylamine)
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- RN 57630-67-8 HCAPLUS
- CN 4-Pyrimidineacetic acid, 6-[[4-(aminocarbonyl)phenyl]amino]-2-(4-chlorophenyl)-, ethyl ester (CA INDEX NAME)

- RN 57630-68-9 HCAPLUS
- CN 4-Pyrimidineacetic acid, 2-(4-chlorophenyl)-6-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 57630-69-0 HCAPLUS
- CN 4-Pyrimidineacetic acid, 2-(4-chlorophenyl)-6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 57630-70-3 HCAPLUS
- CN 4-Pyrimidineacetic acid, 2-(4-chlorophenyl)-6-[[4-(1-piperidinylcarbonyl)phenyl]amino]-, ethyl ester (CA INDEX NAME)

RN 57630-71-4 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(3-chlorophenyl)-, ethyl ester (CA INDEX NAME)

RN 57630-72-5 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[2-(aminocarbony1)pheny1]amino]-2-(3fluoropheny1)-, ethyl ester (CA INDEX NAME)

RN 57630-73-6 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[2-(aminocarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

RN 57630-74-7 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[4-(aminocarbonyl)phenyl]amino]-2-[3-

(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

- RN 57630-75-8 HCAPLUS
- CN 4-Pyrimidineacetic acid, 6-[[4-(1-pyrrolidinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

- RN 57630-76-9 HCAPLUS
- CN 4-Pyrimidineacetic acid, 6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

- RN 57630-77-0 HCAPLUS
- CN 4-Pyrimidineacetic acid, 6-[[4-(1-piperidinylcarbonyl)phenyl]amino]-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (CA INDEX NAME)

- RN 57630-78-1 HCAPLUS
- CN 4-Pyrimidineacetic acid, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

RN 57630-79-2 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[4-(aminocarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

RN 57630-80-5 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[4-(4-morpholinylcarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

RN 57630-81-6 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[4-(1-piperidinylcarbonyl)phenyl]amino]-2-(3,4,5-trimethoxyphenyl)-, ethyl ester (CA INDEX NAME)

RN 57630-82-7 HCAPLUS

CN 4-Pyrimidineacetic acid, 6-[[2-(aminocarbonyl)phenyl]amino]-2-(1,3-benzodioxol-5-yl)-, ethyl ester (CA INDEX NAME)

57630-83-8 HCAPLUS

CN 4-Pyrimidineacetic acid, 2-(1,3-benzodioxol-5-yl)-6-[[4-(4-yl)]]morpholinylcarbonyl)phenyl]amino]-, ethyl ester (CA INDEX NAME)

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD OS.CITING REF COUNT: 1 (1 CITINGS)

L14 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2011 ACS on STN ACCESSION NUMBER: 1975:443373 HCAPLUS Full-text

DOCUMENT NUMBER: 83:43373 ORIGINAL REFERENCE NO.: 83:6871a,6874a

TITLE: (Phenylamino)pyrimidine pharmaceuticals

INVENTOR(S): Fauran, Claude; Bourgery, Guy; Raynaud, Guy; Gouret,

Claude

PATENT ASSIGNEE(S): Delalande S. A., Fr. SOURCE: Ger. Offen., 49 pp.

CODEN: GWXXBX Patent

DOCUMENT TYPE: LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2444426	A1	19750327	DE 1974-2444426	19740917
FR 2244459	A1	19750418	FR 1973-33831	19730920
FR 2265386	A2	19751024	FR 1974-10327	19740326
FR 2265386	B2	19780929		
BE 819057	A1	19750221	BE 1974-147794	19740821
CH 593266	A5	19771130	CH 1974-11401	19740821
GB 1430729	A	19760407	GB 1974-37550	19740828
US 3978055	A	19760831	US 1974-502285	19740903
ZA 7405741	A	19751029	ZA 1974-5741	19740910
JP 50088079	A	19750715	JP 1974-105900	19740913
AU 7473441	A	19760325	AU 1974-73441	19740918

CA 1008074	A1	19770405	CA	1974-209631		19740918
SE 7411806	A	19750321	SE	1974-11806		19740919
SE 410600	В	19791022				
NL 7412494	A	19750324	NL	1974-12494		19740920
US 4025514	A	19770524	US	1976-714472		19760816
US 4041030	A	19770809	US	1976-714473		19760816
SU 698531	A3	19791115	SU	1977-2558803		19771228
PRIORITY APPLN. INFO.:			FR	1973-33831	A	19730920
			FR	1974-10327	A	19740326
			US	1974-502285	A2	19740903
			FR	1976-20775	A	19760707

- GI For diagram(s), see printed CA Issue.
- AB Pyrimidines I (R = Ph, 4-ClC6H4, 3-FC6H4, 3-F3CC6H4, 3,4-methylenedioxyphenyl, 3,4,5-(Mc0)3C6H2; RI = 4-CONH2, 4-substituted carbamoyl, 2-ester, 2-CONH2, 4-COZEH, 4-aminoethoxyl (7 compds.) were prepared Thus, I [R = 3,4,5-(Me0)3C6H2, RI = 4-pyrrolidinylcarbonyl) was obtained by treating the 4-chloropyrimidine with 4-pyrrolidinocarbonylaniline. Various I demonstrated sedative, antihypotesive, antiulcer, vasodilator, bronchodilator, diuretic, antihypertensive, pos. inotropic, analgesic, muscle relaxant, and antiinflammatory activities.
- IT 56302-92-2P 56303-02-7P 56303-03-8P

56303-05-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PERP (Preparation)

- (preparation and analgesic activity of)
- RN 56302-92-2 HCAPLUS
- CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-phenyl-(CA INDEX NAME)

- RN 56303-02-7 HCAPLUS
- CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-2-(3-fluorophenyl)-6-methyl- (CA INDEX NAME)

- RN 56303-03-8 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)

RN 56303-05-0 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

IT 56302-54-6P 56302-55-7P 56302-64-8P

56303-01-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory activity of)

56302-54-6 HCAPLUS

CN Benzoic acid, 4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

RN 56302-55-7 HCAPLUS

CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 56302-64-8 HCAPLUS

CN Benzoic acid, 2-[[2-(3-fluoropheny1)-6-methy1-4-pyrimidiny1]amino]-,
 methy1 ester (CA INDEX NAME)

RN 56303-01-6 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

IT 56302-75-1P 56302-76-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(preparation and antiulcer activity of)

RN 56302-75-1 HCAPLUS

CN Methanone, [4-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4 pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

RN 56302-76-2 HCAPLUS

CN Methanone, [4-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4 pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

(preparation and diuretic activity of)

IT 56302-61-5P 56302-74-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 56302-61-5 HCAPLUS

CN Benzamide, 2-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

RN 56302-74-0 HCAPLUS

CN Methanone, [4-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4pyrimidinyl|amino|phenyl|-1-pyrrolidinyl- (CA INDEX NAME)

(preparation and pharmacological activity of)

RN 56302-44-4 HCAPLUS

CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

- RN 56302-45-5 HCAPLUS
- CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-

pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-46-6 HCAPLUS
- CN Benzamide, 4-[[2-(4-chloropheny1)-6-methyl-4-pyrimidiny1]amino]-N,N-dimethyl- (CA INDEX NAME)

- RN 56302-47-7 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1pyrrolidinyl- (CA INDEX NAME)

- RN 56302-49-9 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1piperidinyl- (CA INDEX NAME)

- RN 56302-51-3 HCAPLUS
- CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl](4phenyl-1-piperazinyl)- (CA INDEX NAME)

- RN 56302-52-4 HCAPLUS
- CN Benzamide, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 56302-53-5 HCAPLUS
- CN Benzamide, 4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 56302-59-1 HCAPLUS
- CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 56302-62-6 HCAPLUS
- CN Benzamide, 4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

- RN 56302-66-0 HCAPLUS
- CN Benzoic acid, 2-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-67-1 HCAPLUS
- CN Benzeneacetic acid, 4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 56302-71-7 HCAPLUS
- CN Benzamide, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino](CA INDEX NAME)

- RN 56302-72-8 HCAPLUS

- RN 56302-77-3 HCAPLUS
- CN Benzamide, 2-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 56302-78-4 HCAPLUS
- CN Benzamide, 4-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4-pyrimidinyl]amino](CA INDEX NAME)

- RN 56302-79-5 HCAPLUS
- CN Benzoic acid, 4-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

- RN 56302-81-9 HCAPLUS

RN 56302-82-0 HCAPLUS

CN Benzoic acid, 2-[[2-(1,3-benzodioxol-5-y1)-6-methyl-4-pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-83-1 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 56302-84-2 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

- RN 56302-85-3 HCAPLUS
- CN Methanone, (4-methyl-1-piperazinyl)[4-[[6-methyl-2-(3,4,5trimethoxyphenyl)-4-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)

- RN 56302-86-4 HCAPLUS

- RN 56302-87-5 HCAPLUS
- CN Benzamide, 2-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino]-(CA INDEX NAME)

- RN 56302-88-6 HCAPLUS
- CN Benzamide, 4-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino]-(CA INDEX NAME)

$${\tt H_2N-C} \underbrace{{\tt NH-N}_N}_{\tt Me} \underbrace{{\tt OMe}}_{\tt OMe}$$

- RN 56302-91-1 HCAPLUS
- CN Benzoic acid, 2-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

- RN 56302-93-3 HCAPLUS
- $\texttt{CN} \qquad 4-\texttt{Pyrimidinamine, N-[4-[2-(dipropylamino)ethoxy]pheny1]-6-methy1-2-pheny1-1}$

, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 56302-94-4 HCAPLUS

RN 56302-96-6 HCAPLUS

CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

RN 56302-97-7 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-(CA INDEX NAME)

$$\begin{array}{c} \text{NH} \quad \text{CH}_2 - \text{CH}_2 - \text{O} \\ \end{array}$$

RN 56302-99-9 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)

- RN 56303-06-1 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56303-07-2 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 56303-08-3 HCAPLUS
- CN 4-Pyrimidinamine, 2-(1,3-benzodioxol-5-yl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56328-01-9 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)

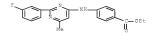
- RN 56328-03-1 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(1- $\frac{1}{2}$ -(1- $\frac{1}{2}$

piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56328-04-2 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-chloropheny1)-N-[4-[2-(hexahydro-1H-azepin-1-y1)ethoxy]pheny1]-6-methy1- (CA INDEX NAME)

- IT 56302-43-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation and reaction of, with dioxolanemethanol)
- RN 56302-43-3 HCAPLUS
- CN Benzoic acid, 2-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

- IT 56302-63-7P
 - RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and sedative activity of)
- RN 56302-63-7 HCAPLUS
- CN Benzoic acid, 4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



IT 56302-65-9P 56302-73-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and vasodilator activity of)

RN 56302-65-9 HCAPLUS

RN 56302-73-9 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)

56302-48-8P 56302-50-2P 56302-56-8P 56302-57-9P 56302-58-0P 56302-60-4P 56302-68-2P 56302-69-3P 56302-70-6P 56302-89-79 56302-90-0P 56302-80-89 56302-95-5P 56302-96-69 56302-98-8P 56303-00-5P 56303-04-9P 56303-09-4P 56303-10-7P 56303-11-8P 56303-12-9P 56303-13-0P 56303-14-1P 56303-15-2P 56328-02-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 56302-48-8 HCAPLUS

CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

RN 56302-50-2 HCAPLUS

CN Methanone, [4-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 56302-56-8 HCAPLUS
- CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)

- RN 56302-57-9 HCAPLUS
- CN Benzoic acid, 2-[[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)

- RN 56302-58-0 HCAPLUS
- CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1pyrrolidinyl- (CA INDEX NAME)

- RN 56302-60-4 HCAPLUS
- CN Methanone, [4-[[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1piperidinyl- (CA INDEX NAME)

- RN 56302-68-2 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)

- RN 56302-69-3 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)

- RN 56302-70-6 HCAPLUS
- CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)

- RN 56302-80-8 HCAPLUS
- CN Benzoic acid, 2-[[2-(1,3-benzodioxol-5-yl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 56302-89-7 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino], ethyl ester (CA INDEX NAME)

RN 56302-90-0 HCAPLUS

CN Benzoic acid, 2-[[6-methyl-2-(3,4,5-trimethoxyphenyl)-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

RN 56302-95-5 HCAPLUS

RN 56302-96-6 HCAPLUS

CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

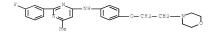
- RN 56302-98-8 HCAPLUS
- CN 4-Pyrimidinamine, N-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]-6methyl-2-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

- RN 56303-00-5 HCAPLUS
- CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]pheny1]-6-methyl-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 56303-04-9 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

- RN 56303-09-4 HCAPLUS
- CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(4-

morpholiny1)ethoxy]pheny1]- (CA INDEX NAME)



- RN 56303-10-7 HCAPLUS
- CN 4-Pyrimidinamine, 2-(4-methoxyphenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56303-11-8 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-[4-[2-(4morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56303-12-9 HCAPLUS
- CN 4-Pyrimidinamine, 2-[4-(dimethylamino)phenyl]-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 56303-13-0 HCAPLUS
- CN 4-Pyrimidinamine, 6-methyl-N-[2-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-(CA INDEX NAME)

RN 56303-14-1 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-2-phenyl-(CA INDEX NAME)

RN 56303-15-2 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[4-[3-(4-morpholiny1)propoxy]pheny1]-2-phenyl-(CA INDEX NAME)

RN 56328-02-0 HCAPLUS

CN Methanone, [4-[[6-methyl-2-[3-(trifluoromethyl)phenyl]-4pyrimidinyl]amino]phenyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

OS.CITING REF COUNT:

4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

=> d his nofile

L3	FILE	'REGISTRY' ENTERED AT 15:45:27 ON 26 JAN 2011 STR
L5		275 SEA SSS FUL L3
L6		STR
L7		21 SEA SUB=L5 SSS FUL L6
	FILE	'HCAPLUS' ENTERED AT 15:49:48 ON 26 JAN 2011
L8		5 SEA ABB=ON PLU=ON L7
		D STAT QUE L8 D IBIB ABS HITSTR L8 1-5
		D IBIB ABS HIISIK LO 1-3
	FILE	'REGISTRY' ENTERED AT 15:50:24 ON 26 JAN 2011
L9		254 SEA ABB=ON PLU=ON L5 NOT L7
	FILE	'HCAPLUS' ENTERED AT 15:50:28 ON 26 JAN 2011
L10		22 SEA ABB=ON PLU=ON L9
L13		14 SEA ABB=ON PLU=ON L10 AND (?MEDIC? OR ?THERAP? OR ?DRUG? OR
		?PHARM?)
L14		12 SEA ABB=ON PLU=ON L13 NOT L8
		D STAT QUE L14 D IBIB ABS HITSTR L14 1-12

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